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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * *
                     Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS 1
NEWS 2
                 "Ask CAS" for self-help around the clock
NEWS 3 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 4 FEB 21 STN AnaVist, Version 1.1, lets you share your STN AnaVist
                 visualization results
NEWS 5 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 6 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 7 FEB 27
                New STN AnaVist pricing effective March 1, 2006
NEWS 8 MAR 03
                Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9 MAR 22
                 EMBASE is now updated on a daily basis
NEWS 10 APR 03
                New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 11 APR 03
                Bibliographic data updates resume; new IPC 8 fields and IPC
                 thesaurus added in PCTFULL
NEWS 12 APR 04
                STN AnaVist $500 visualization usage credit offered
NEWS 13 APR 12 LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 14 APR 12 Improved structure highlighting in FQHIT and QHIT display
                 in MARPAT
NEWS 15 APR 12
                Derwent World Patents Index to be reloaded and enhanced during
                 second quarter; strategies may be affected
NEWS 16 MAY 10
                CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11
                KOREAPAT updates resume
NEWS 18 MAY 19
                Derwent World Patents Index to be reloaded and enhanced
NEWS 19 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                 USPATFULL/USPAT2
NEWS 20 MAY 30
                The F-Term thesaurus is now available in CA/CAplus
NEWS 21
        JUN 02
                The first reclassification of IPC codes now complete in
                 INPADOC
NEWS EXPRESS
                 FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
                 CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
                 AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
                 V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
```

NEWS LOGIN Welcome Banner and News Items
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FILE 'HOME' ENTERED AT 11:58:23 ON 25 JUN 2006

=> Uploading

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Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:58:36 ON 25 JUN 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 JUN 2006 HIGHEST RN 889213-08-5 DICTIONARY FILE UPDATES: 23 JUN 2006 HIGHEST RN 889213-08-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See ${\tt HELP\ SLIMITS}$ for details.

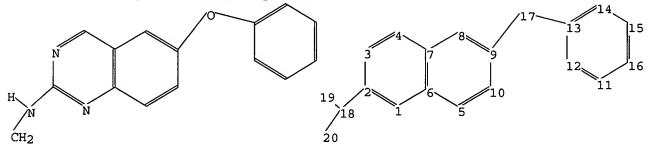
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Program Files\Stnexp\Queries\10824731.str



chain nodes : 17 18 19 20 ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds :

2-18 9-17 13-17 18-19 18-20

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14

14-15 15-16

exact/norm bonds : 2-18 9-17 13-17

exact bonds :

18-19 18-20

normalized bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14

14-15 15-16

isolated ring systems :

containing 1 : 11 :

Match level :

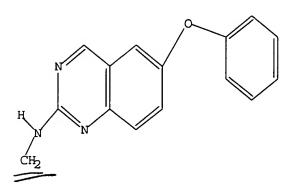
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

L3

SAMPLE SEARCH INITIATED 11:58:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 33 TO 447

PROJECTED ANSWERS: 1 TO 80

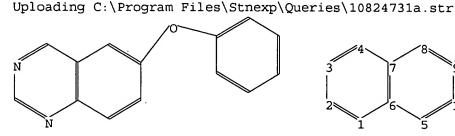
L2 1 SEA SSS SAM L1

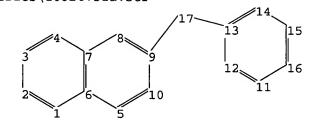
=> s 11 sss full FULL SEARCH INITIATED 11:58:56 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS SEARCH TIME: 00.00.01

=>

3 SEA SSS FUL L1





3 ANSWERS

chain nodes : 17

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16

chain bonds : 9-17 13-17

10824731.trn

Page 4

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16

exact/norm bonds :

9-17 13-17

normalized bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :

containing 1 : 11 :

Match level :

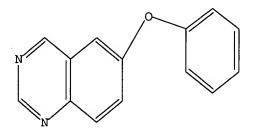
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4



STR

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 12:00:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 260 TO ITERATE

100.0% PROCESSED

260 ITERATIONS

11 ANSWERS

170 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

4233 TO 6167

PROJECTED ANSWERS:

33 TO 416

22 TO 418

L5 11 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 12:00:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5002 TO ITERATE

100.0% PROCESSED 5002 ITERATIONS

SEARCH TIME: 00.00.01

10824731.trn Page 5

L6

170 SEA SSS FUL L4

=> FIL HCAPLUS COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 334.32 334.53

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 12:00:18 ON 25 JUN 2006
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FILE COVERS 1907 - 25 Jun 2006 VOL 145 ISS 1 FILE LAST UPDATED: 23 Jun 2006 (20060623/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L72 L3 => s 16 L8 35 L6 => s 18 and py<=2003 23861848 PY<=2003 L9 28 L8 AND PY<=2003 => s 19 and p38 kinase 12541 P38 266776 KINASE 52258 KINASES 275331 KINASE (KINASE OR KINASES) 2825 P38 KINASE (P38(W)KINASE) 0 Ld and P38 KINASE L10

=> s 19 and kinase inhibitors 266776 KINASE 52258 KINASES 275331 KINASE (KINASE OR KINASES) 518345 INHIBITORS

20896 KINASE INHIBITORS

10824731.trn

(KINASE(W) INHIBITORS) 1 L9 AND KINASE INHIBITORS

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=> s 19 and us/pc
       1557202 US/PC
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L12 11 L9 AND US/PC

=> d his

(FILE 'HOME' ENTERED AT 11:58:23 ON 25 JUN 2006)

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FILE 'REGISTRY' ENTERED AT 11:58:36 ON 25 JUN 2006
1.1
                STRUCTURE UPLOADED
L2
              1 S L1
L3
              3 S L1 SSS FULL
L4
                STRUCTURE UPLOADED
L5
             11 S L4
L6
            170 S L4 SSS FULL
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FILE 'HCAPLUS' ENTERED AT 12:00:18 ON 25 JUN 2006

```
2 S L3
             35 S L6
T8
             28 S L8 AND PY<=2003
L9
L10
              0 S L9 AND P38 KINASE
L11
              1 S L9 AND KINASE INHIBITORS
L12
             11 S L9 AND US/PC
```

=> d l7 ibib abs hitstr tot

Munch ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS OF

ACCESSION NUMBER: 2004:878161 HCAPLUS

DOCUMENT NUMBER: 141:366245

TITLE:

Preparation of substituted quinazolines as p38 kinase inhibitors

INVENTOR (S): Dunn, James Patrick; Goldstein, David Michael; Stahl,

Christoph Martin; Trejo-Martin, Teresa Alejandra

PATENT ASSIGNEE(S): E-Hoffmann-La Roche AG, USA SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				

US 2004209904	A1 20041921	US 2004-824731	20040415				
AU 2004230209	A1 20041028	20041028 AU 2004-230209					
CA 2522522	AA 20041028	CA 2004-2522522	20040408				
WO 2004092144	A2 20041028	WO 2004-EP3779	20040408				
WO 2004092144	A3 20050324	:					
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,				
		DM, DZ, EC, EE, EG, ES,					
		IN, IS, JP, KE, KG, KP,					
		MD, MG, MK, MN, MW, MX,					
		RO, RU, SC, SD, SE, SG,					
		UG, US, UZ, VC, VN, YU,					
		SD, SL, SZ, TZ, UG, ZM,					
BY, KG, KZ,	MD, RU, TJ, TM,	AT, BE, BG, CH, CY, CZ,	DE, DK, EE,				

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

20040408

EP 1620408 **A2** 20060201 EP 2004-726448 20040408 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

20060418 BR 2004009580 Α BR 2004-9580

CN 1774425 Α 20060517 CN 2004-80010341 20040408 PRIORITY APPLN. INFO.: US 2003-463467P P 20030416 WO 2004-EP3779 W 20040408

OTHER SOURCE(S):

MARPAT 141:366245

GI

$$\begin{array}{c|c}
R^4 \\
R^7 \\
R^5
\end{array}$$

AΒ The title compds. I [R4, R5 = H, halo, CN, haloalkyl, or haloalkoxy (but are not both hydrogen); R6, R7 = alkyl, halo, CN, etc.; Q = a non-aromatic moiety; m = 0-3; n = 0-2] which are useful as p38 kinase inhibitors, were prepared and formulated. E.g., a multi-step synthesis of II, starting from Me 5-chloro-2-nitrobenzoate and 2,4-difluorophenol, which showed IC50 of <0.10 µM against p38 MAP kinase, was given.

ΙT 778639-20-6P 778639-21-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted quinazolines as p38 kinase inhibitors)

778639-20-6 HCAPLUS RN

CN 1,2-Propanediol, 3-[[6-(2,4-difluorophenoxy)-2-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{NH- CH}_2\text{- CH- CH}_2\text{- OH} \\ \\ \text{NH- CH}_2\text{- CH- CH}_2\text{- OH} \\ \end{array}$$

RN 778639-21-7 HCAPLUS

CN 2-Quinazolinamine, 6-(2,4-difluorophenoxy)-N-[2-(methylsulfonyl)ethyl]-(9CI) (CA INDEX NAME)

ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:582372 HCAPLUS

DOCUMENT NUMBER: 142:155900

TITLE: Synthesis and phenotypic screening of a

guanine-mimetic library

AUTHOR(S): Miller, Stephen C.; Mitchison, Timothy J.

Department of Cell Biology and Institute of Chemistry CORPORATE SOURCE:

and Cell Biology, Harvard Medical School, Boston, MA,

SOURCE:

02115, USA ChemBioChem (2004) 5(7), 1010-1012 CODEN: CBCHFX: 185N: 1439-4227 Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:155900

PUBLISHER:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Guanine-derived small mols. play important roles in many aspects of cellular function. Proteins that bind guanine and its derivs. control a wide variety of cellular processes, and compds. that disrupt this binding would be valuable research tools as well as potential pharmaceuticals. A split-pool library of 270 6-substituted-2-amino-4(3H)-quinazolinones (I) (R1 = residue of thiol, phenol, or primary alcs.; R2 = aryl or alkyl; e.g. R1 = 3,4-dimethylphenyl, R2 = 3,4,5-trimethoxyphenyl, benzyl; R1 = isobutylthio, R2 = 3-acetylphenyl; R1 = cyclohexylthio, R2 = 4-chlorophenyl) was prepared by aza-Wittig-mediated solid-phase synthesis which involves (1) nucleophilic aromatic substitution of a resin-bound 5-fluoro-2-nitrobenzamide with a variety of thiols, phenols, and primary alcs., (2) generation of a resin-bound iminophosphorane (II) (P = resin) by treatment with Ph3P/Cl3CCCl3/imidazole (3 h, 4°), (3) aza-Wittig reaction of the iminophosphoranes with one of 15 isocyanates (R2-NCO) to yield a carbodiimide (III) (P = resin) followed by intermol. O-attack instead of the desired N attack to the carbodiimide to yield an 4-imino-4H-3,1-benzoxazine (IV), and (4) DBU-mediated isomerization to the desired 2-amino-4(3H)-quinazolinone (V) (P = resin) followed by resin cleavage. The compds. I were cell-permeable guanine-mimetics and screened for (a) the effect on the cytoskeleton and cell cycle progression by incubating the compds. with BS-C-1-(monkey) cells for 6 h, followed by

fixing and staining for actin, DNA, and microtubules and (b) disruption of cellular trafficking. For example, I (R1 = isobutylthio, R2 = 3-acetylphenyl) disrupted both the actin and microtubule cytoskeleton, but did not arrest cells in mitosis.

IT 828261-87-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase synthesis and phenotypic screening of guanine-mimetic library via aza-Wittig reaction of iminophosphoranes with isocyanates and DBU-mediated isomerization iminobenzoxazines)

RN 828261-87-6 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3,4-dimethylphenoxy)-2-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

9

ACCESSION NUMBER: 1997:568090 HCAPLUS

DOCUMENT NUMBER: 127:248122

TITLE: Quinazoline derivatives as antitumor agents

INVENTOR(S): Barker, Andrew John; Johnstone, Craig

PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 77 pp.

COURCE: PCT Int. Appl., 77 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Facent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	rent 1	NO.			KIN	D 1	DATE			APPLICATION NO.					DATE			
						-												
WO	9730	034			A1		1997	0821	1	WO 19	997-0	GB34	4		1	9970	210 <	<
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		DK,	EE,	ES,	FΙ,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
										TM,								
	RW:									CH,								
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	
		MR,	ΝE,	SN,	TD,	TG												
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ΑU	9716	126			A1	:	1997	0902	7	AU 19	997-	16126	5		19	99702	210 <	<
ΑU	7073	39			B2		1999	0708										
ΕP	8805	07			A1		1998	1202	1	EP 19	997-9	9024	96		19	9702	210 <	<
EP	8805	07			B1	:	2005	0413										

10824731.trn

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AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI CN 1211240 19990317 А CN 1997-192242 19970210 <--JP 2000504713 20000418 T2 JP 1997-529073 19970210 <--NZ 330816 Α 20000526 NZ 1997-330816 19970210 <--IL 125685 A1 20021110 IL 1997-125685 19970210 <--AT 293103 Ε 20050415 AT 1997-902496 19970210 PT 880507 Т 20050729 PT 1997-902496 19970210 ES 2239351 **T3** 20050916 ES 1997-902496 19970210 ZA 9701231 Α 19970814 ZA 1997-1231 19970213 <--US 5866572-Α 19990202 US 1997-796483 19970213 <--NO 9803707 Α 19981013 NO 1998-3707 19980813 <--NO 311936 B1 20020218 US 6399602 B1 20020604 US 1998-152070 19980911 <--US_2003018029 20030123 A1 US 2002-136276 20020502 <--ŪS 6897214 B2 20050524 PRIORITY APPLN. INFO.: GB 1996-3095 A 19960214 WO 1997-GB344 W 19970210 US 1997-796483 A3 19970213 US 1998-152070 A1 19980911

OTHER SOURCE(S): MARPAT 127:248122

AB The invention concerns quinazoline derivs. I [X1 = bond, CO, C(R2)2, CH(OR2), S, C.tplbond.C, O, S, etc.; Q1 = Ph, naphthyl, or 5- or 6-membered heteroaryl optionally bearing 1-3 substituents; m = 1 or 2; R1 = H, halo, CF3, OH, NH2, cyano, etc.; R2 = H, alkyl; Q2 = Ph or 9- or 10-membered bicyclic heterocycle optionally bearing 1-3 substituents] and their pharmaceutically acceptable salts. Also disclosed are processes for preparation of I and salts, pharmaceutical compns. containing them, and the use of

their receptor tyrosine kinase inhibitory properties in the treatment of proliferative diseases such as cancer. Examples include syntheses of 40 compds. and various intermediates. For instance, Pd(PPh3)4-catalyzed coupling of 6-bromo-4-(3-chloro-4-fluoroanilino)quinazoline-HCl with di-iso-Pr [5-(2-morpholinoethyl)thien-2-yl]boronate (prepns. given) gave 27% title compound II. At 50 mg/kg/day in athymic nude mice with human vulval epidermoid carcinoma xenografts (cell line A-431), II gave 64% inhibition of tumor volume (vs. control) after 13 days.

IT 195457-37-5P, 4-(3-Chloro-4-fluoroanilino)-6-(4-cyanophenoxy) quinazoline 195457-38-6P, 4-(3-Chloro-4-fluoroanilino)-6-(4-nitrophenoxy) quinazoline 195457-39-7P, 6-(4-Aminophenoxy)-4-(3-chloro-4-fluoroanilino) quinazoline 195457-41-1P, 6-[4-(Aminomethyl) phenoxy]-4-(3-chloro-4-

fluoroanilino) quinazoline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of quinazoline derivs. as antitumor agents and antiproliferatives)

RN 195457-37-5 HCAPLUS

CN Benzonitrile, 4-[[4-[(3-chloro-4-fluorophenyl)amino]-6-quinazolinyl]oxy](9CI) (CA INDEX NAME)

RN 195457-38-6 HCAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-(4-nitrophenoxy)- (9CI) (CA INDEX NAME)

RN 195457-39-7 HCAPLUS

CN 4-Quinazolinamine, 6-(4-aminophenoxy)-N-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 195457-41-1 HCAPLUS

CN 4-Quinazolinamine, 6-[4-(aminomethyl)phenoxy]-N-(3-chloro-4-fluorophenyl)(9CI) (CA INDEX NAME)

IT 195457-40-0P, 4-(3-Chloro-4-fluoroanilino)-6-phenoxyquinazoline 195457-42-2P, 4-(3-Chloro-4-fluoroanilino)-6-[4-

(morpholinomethyl) phenoxy] quinazoline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as antitumor agents and antiproliferatives)

RN 195457-40-0 HCAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-phenoxy- (9CI) (CA INDEX NAME)

RN 195457-42-2 HCAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-[4-(4-morpholinylmethyl)phenoxy]- (9CI) (CA INDEX NAME)

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:737351 HCAPLUS

DOCUMENT NUMBER:

139:261565

TITLE:

Preparation of quinazolinylguanidines, quinolinylguanidines, and N-sulfonyl

INVENTOR(S):

argininylphenylalaninamides for the treatment of pain Forray, Carlos C.; Kawakami, Joel; Konkel, Michael J.;

Boteju, Lakmal W.; Wetzel, John M.; Noble, Stewart A.;

Wan, Honghe

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
US 2003176314	A1	20030918	US 2002-253237	20020924 <			
PRIORITY APPLN. INFO.:		~	US 2001-324767P P	20010924			

10824731.trn

Page 14

Title compds. were prepared for treating pain, urinary incontinence and AB other abnormalities mediated by a neuropeptide FF (NPFF) receptor. Thus, N-(4-methyl-6-pentyl-2-quinazolinyl)guanidine and 1-naphthalenesulfonyl-Arg-Phe-NH2 were prepared and shown to be agonists concurrently at the NPFF1 and NPFF2 receptors.

IT 503831-93-4P 503831-96-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of quinazolinylguanidines, quinolinylguanidines, and N-sulfonyl argininylphenylalaninamides for treatment of pain)

RN 503831-93-4 HCAPLUS

CN Guanidine, (4-methyl-6-phenoxy-2-quinazolinyl) - (9CI) (CA INDEX NAME)

503831-96-7 HCAPLUS RN

CN Guanidine, [4-methyl-6-(4-methylphenoxy)-2-quinazolinyl]- (9CI) (CA INDEX

L12 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:570646 HCAPLUS

DOCUMENT NUMBER:

139:133576

TITLE:

Preparation of quinolyl- and quinazolinylguanidines as

neuropeptide FF (NPFF) agonists/antagonists for

treatment of urge incontinence.

INVENTOR (S):

Kawakami, Joel K.; Wetzel, John; Boteju, Lakmal W.;

Konkel, Michael J.; Wan, Honghe; Noble, Stewart A.

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 52 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

10824731.trn

Page 15

Ι

US 2003139431 PRIORITY APPLN. INFO.: OTHER SOURCE(S):

20030724 A1 US 2002-253946 US 2001-324559P MARPAT 139:133576

20020921 <--P 20010924

R2 R^{1}

$$R^3$$
 R^4
 R^2
 R^1
 X
 NH
 NH_2
 R^3

AB A method for treating urge incontinence comprises administration of title compds. [I; X = CH, CMe, N; R1-R5 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) aryl, OH, halogenated ether, NO2, amino, halo, CN, C(Z)R6, C(Z)OR6, C(Z)N(R6)2, N(R6)C(Z)R6, N(R6)C(Z)N(R6)2, OC(Z)R6, C(Z) OR6, OR6, SR6; Z = O, S; R6 = alkyl, aryl, (CH2)nQ, alkenyl, cycloalkyl, cycloalkenyl, Q = OR7, SR7, N(R7)2, aryl; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl; R2R3 = fused aryl, heteroaryl, cycloalkyl, heterocyclic alkyl ring; R3R4 = fused aryl, heteroaryl, cycloalkyl, heterocyclic alkyl ring; each alkyl, alkenyl, alkynyl, alkoxy group is optionally substituted with Ra; Ra = OH, alkoxy, halo, NO2, amino, CF3, carboxy; each cycloalkyl group is optionally substituted with Rb; Rb = Ra, alkyl, alkenyl, alkynyl, cycloalkyl; each aryl is optionally substituted with R1]. Thus, I (X = CH; R1 = Me; R2, R4, R5 = H; R3 = Cl) at 1 mg/kg i.v. in female rats produced complete inhibition of distention-induced bladder contractions, resulting in a disappearance time of 35 min.

IT 503831-93-4P, N-(4-Methyl-6-phenoxy-2-quinazolinyl)quanidine 503831-96-7P, N-[4-Methyl-6-(4-methylphenoxy)-2quinazolinyl]quanidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolylguanidines and quinazolinylguanidines as neuropeptide FF (NPFF) agonists/antagonists for treatment of urge incontinence)

503831-93-4 HCAPLUS RN

Guanidine, (4-methyl-6-phenoxy-2-quinazolinyl)- (9CI) (CA INDEX NAME) CN

503831-96-7 HCAPLUS RN

CN Guanidine, [4-methyl-6-(4-methylphenoxy)-2-quinazolinyl]- (9CI) (CA INDEX NAME)

10824731.trn

Page 16

Me
$$NH = C-NH_2$$
 $NH = C-NH_2$

L12 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:335019 HCAPLUS

DOCUMENT NUMBER:

138:346575

TITLE:

Imide compounds and their application in optical

recording media

INVENTOR (S):

Ogiso, Akira; Shiozaki, Hiroyoshi; Ishida, Tsutomu; Tsukahara, Hisashi; Misawa, Tsutami; Inoue, Koji; Koike, Tadashi; Ueno, Keiji; Inatomi, Yuji; Nara,

Ryousuke

PATENT ASSIGNEE(S):

Mitsui Chemicals, Inc., Japan

SOURCE:

PCT Int. Appl., 205 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIND DATE				APPLICATION NO.						DATE				
	WO 20	030354	07				2003	0501		WO 2	002-	JP10:	20021022 <					
											BG,							
											ĒΕ,							
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR.	
											MW,							
											SL,							
			UG,									•	,			•	•	
	R	W: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
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		45115							EP 2002-777915									
	R	: AT,														MC,	PT,	
											TR,							
		75236						CN 2002-820890										
										JP 2002-324789								
		052084			A1		2005	0922		US 2	004-	49300	34		2	00404	419 <	
PRIOR	ITY A	PPLN.	INFO	.:						JP 2	001-	3239	00	7	A 20	0011	022	
											001-	-			A 20	0011	109	
											002-				A 20	00209	522	
											002-			_	A 20	0020	719	
								JP 2002-244776				-						
											002-		-	-		00208		
Отивр	COLID	CE(S) -			M2 E3		120.	2465		WO 2	002-	JP109	939	ı	√ 2(0021	022	
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OTHER SOURCE(S): MARPAT 138:346575

An optical recording medium contains in its recording layer at least one imide compound having a metallocene substitution group.

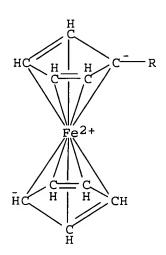
IT 516516-47-5 516517-77-4 516518-99-3

RL: MOA (Modifier or additive use); USES (Uses) (metallocene-containing imide compds. optical recording media)

RN 516516-47-5 HCAPLUS

CN Ferrocene, [2-[7-[1,4-dihydro-4-oxo-6-(3-phenoxyphenoxy)-2-quinazolinyl]-3,6,7,8-tetrahydro-1,3,6,8-tetraoxoindeno[5,6-f]isoindol-2(1H)-yl]phenyl]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 516517-77-4 HCAPLUS

CN Ferrocene, 1,1'',1'''-[2-[6-[1,4-dihydro-4-oxo-6-(3-phenoxyphenoxy)-2-quinazolinyl]-3,5,6,7-tetrahydro-1,3,5,7-tetraoxocyclopent[f]isoindol-2(1H)-yl]-1,3,5-benzenetriyl]tris-(9CI) (CA INDEX NAME)

10824731.trn

Page 18

PAGE 1-A

PAGE 2-A

RN 516518-99-3 HCAPLUS

CN Ferrocene, 1,1'',1'''-[2-[7-[1,4-dihydro-4-oxo-6-(3-phenoxyphenoxy)-2-quinazolinyl]-3,6,7,8-tetrahydro-1,3,6,8-tetraoxonaphth[2,1,8-def]isoquinolin-2(1H)-yl]-1,3,5-benzenetriyl]tris- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:301049 HCAPLUS

DOCUMENT NUMBER:

138:321058

TITLE:

C2-, C6- and 9-Aryl-substituted purine and other

10824731.trn

Page 20

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heteroaryl kinase inhibitor scaffolds and methods for
                           their preparation
INVENTOR(S):
                           Ding, Sheng; Ding, Qiang; Gray, Nathanael S.
PATENT ASSIGNEE(S):
                           IRM LLC, Bermuda
                           PCT Int. Appl., 68 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
                           2
PATENT INFORMATION:
     PATENT NO.
                           KIND
                                   DATE
                                                APPLICATION NO.
                                                                         DATE
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                                                                         -----
     WO 2003031406
                            A2
                                   2003/0417
                                               WO 2002-US32680
                                                                         20021012 <--
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             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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                                  20031009
                                               US 2002-270030
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     JP 2005512972
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                                                                         20021012
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                                                                         20021012
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              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
     US 2006009642
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                                  20060112
                                               US 2005-223429
                                                                         20050909 <--
PRIORITY APPLN. INFO.:
                                               US 2001-328763P
                                                                     Р
                                                                        20011012
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                                                                       20011120
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                                                                        20020107
                                               US 2002-348089P
                                                                     Ρ
                                                                         20020110
                                               US 2001-328741P
                                                                     Р
                                                                         20011012
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                                                                     Ρ
                                                                         20020107
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                                                                         20020108
                                               US 2002-170031
                                                                     A3 20020612
                                               WO 2002-US32680
                                                                     W 20021012
OTHER SOURCE(S):
                         CASREACT 138:321058; MARPAT 138:321058
GΙ
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AB General methods for the solution phase as well as solid phase synthesis of various substituted heteroaryls, particularly C2-, C6- and 9-aryl-substituted purines (e.g. 2-(2,4-dimethoxyphenyl)-6-(4-methoxybenzylamino)-9-isopropylpurine), was demonstrated. These substituted heteroaryls can be further elaborated by aromatic substitution

with amines at elevated temperature or by anilines, boronic acids and phenols via Pd catalyzed cross-coupling reactions. The 1st claim comprises a method of preparing a C2-substituted purine compound, said method comprising: reacting a C2-halogenated purine with A-X (X = -B(OH)2, -OH, and -NHR1; R1 = H, (un) substituted alkyl; A = (un) substituted alkyl, (un) substituted aryl, (un) substituted heterocyclyl) in the presence of a solvent, a base, a carbene ligand and a Pd catalyst. The 2nd claims narrows the 1st claim to purines I wherein R2 = H, (un) substituted alkyl, (un) substituted aryl, (un)substituted heterocyclyl; X' = direct bond, NR1 and O; X'' = direct bond, O and NR3, with the proviso that when X'' is NR3, Y is R4 or A', and when X' is O or a direct bond, Y is A'; A' = (un)substituted alkyl, (un) substituted aryl, (un) substituted arylalkyl, (un) substituted heterocyclyl; R3 = H, (un)substituted alkyl; and R4 = (un)substituted alkyl. Similar claims pertain to C6-substituted purines. Also claimed is a method of preparing a 9-aryl substituted purines, the method comprising: reacting a 2,6-dihalogenated purine with Ar-B(OH)2 (Ar = (un)substituted aryl, and (un) substituted heterocyclyl) in the presence of a solvent and a Cu catalyst. Also claimed is a method for synthesizing a substituted heteroaryl, the method comprising: providing a dihaloheteroaryl scaffold moiety and capturing the dihaloheteroaryl scaffold moiety on a resin by nucleophilic substitution of a 1st halogen by a resin-bound amine nucleophile to afford a resin-bound amine substituted monohaloheteroaryl. Substitution of the 2nd halogen is done by nucleophilic displacement (e.g. by aniline, phenol, amine, boronic acid) or coupling (e.g. palladium-mediated). An initial substitution (e.g. alkylation, acylation, coupling) can be done prior to substitution of the 1st halogen. Example procedures are included for: boronic acid coupling, aniline coupling, phenol coupling, purine N9 arylation via boronic acids/cupric acetate, reductive amination for synthesis of PAL-resin-bound amine, resin capture of dichloroheterocycles, substitution of remaining chloro group with boronic acids via Suzuki coupling and product cleavage, substitution of remaining chloro group with anilines or amines via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with phenols via palladium-catalyzed reaction and product cleavage, substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction without base and product cleavage, and substitution of remaining chloro group with amines via non-palladium-catalyzed amination reaction with KOtBu as base and product cleavage. Tables of purity and yields for various heteroaryl combinatorial libraries are included as validation of the following methods: palladium catalyzed cross-coupling reactions for derivatizing resin-bound 2-chloro-6-aminopurine with boronic acids, anilines, amines and phenols, resin-bound chloroheterocyclic scaffolds which can be derivatized via Suzuki coupling reaction, resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed amination reaction, and resin-bound chloroheterocyclic scaffolds which can be derivatized via palladium catalyzed C-O bond formation reaction.

IT 406932-80-7P, 4-(4-Methoxybenzylamino)-6-(4methoxyphenoxy) quinazoline

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(C2-, C6- and 9-Aryl-substituted purine and other heteroaryl kinase inhibitor scaffolds and methods for their preparation)

RN 406932-80-7. HCAPLUS CN

4-Quinazolinamine, 6-(4-methoxyphenoxy)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

10824731.trn

L12 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:171851 HCAPLUS

DOCUMENT NUMBER: 136:232110

TITLE: Preparation of phenoxybenzylamines as selective

serotonin re-uptake inhibitors

INVENTOR(S): Adam, Mavis Diane; Andrews, Mark David; Elliott, Mark

Leonard; Gymer, Geoffrey Edward; Hepworth, David; Howard, Harry Ralph, Jr.; Middleton, Donald Stuart;

Stobie, Alan

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND		APPLICATION NO.	DATE
WO 2002018333			WO 2001-IB1521	20010822 <
			BA, BB, BG, BR, BY,	
			DZ, EC, EE, ES, FI,	
			JP, KE, KG, KP, KR,	
			MK, MN, MW, MX, MZ,	
			SK, SL, TJ, TM, TR,	
			AZ, BY, KG, KZ, MD,	
RW: GH, GM, K	E, LS, MW	, MZ, SD,	SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,
			IE, IT, LU, MC, NL,	
BJ, CF, C	G, CI, CM	, GA, GN,	GQ, GW, ML, MR, NE,	SN, TD, TG
			CA 2001-2420969	
			AU 2001-78650	
			EP 2001-956734	
R: AT, BE, C	H, DE, DK	, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
			CY, AL, TR	
BR 2001013610			BR 2001-13610	
			JP 2002-523451	
NZ 523951	Α	20040924	NZ 2001-523951	20010822
EE 200300084	Α	20050215	EE 2003-84	20010822
	A1		US 2001-941177	20010827 <
US 6610747		20030826		
BG 107544	Α	20031031	BG 2003-107544	20030207 <

Α	20040402	ZA 2003-1383		20030220
Α	20030428	NO 2003-842		20030224 <
A1	20030430	HR 2003-141		20030226 <
		GB 2000-21593	Α	20000831
		GB 2001-7116	Α	20010321
		US 2000-240271P	P	20001013
		US 2001-292400P	P	20010521
		WO 2001-IB1521	W	20010822
	A	A 20030428	A 20030428 NO 2003-842 A1 20030430 HR 2003-141 GB 2000-21593 GB 2001-7116 US 2000-240271P US 2001-292400P	A 20030428 NO 2003-842 A1 20030430 HR 2003-141 GB 2000-21593 A GB 2001-7116 A US 2000-240271P P US 2001-292400P P

OTHER SOURCE(S):

MARPAT 136:232110

GI

$$R^{5}$$
 R^{4}
 $N^{R}^{1}R^{2}$
 $H_{2}N$
 $N^{R}^{1}R^{2}$
 M^{R}^{1}
 M^{R}

AΒ Title compds. I [R1 and R2 independently = H, alkyl or (CH2)n(C3-C6cycloalkyl) wherein n = 0, 1, 2 or 3; or R1 and R2 together with the nitrogen to which they are attached from an azetidine ring; Z or Y is -SR3 and the other Z or Y is halogen or -R3; wherein R3 = C1-4 alkyl optionally substituted with fluorine; except that R3 is not CF3; or Z and Y are linked so that, together with the interconnecting atoms, Z and Y form a fused 5 to 7-membered carbocyclic or heterocyclic ring, and wherein when Z and Y form a heterocyclic ring, in addition to carbon atoms, the linkage contains one or two heteroatoms independently selected from O, S and N; R4 and R5 independently = A-X, wherein A = -CH=CH- or -(CH2)pwhere p is 0, 1 or 2; X = H, halo, CONR6R7, SO2NR6R7, SO2NHC(=O)R6, OH, C1-4alkoxy, etc; or A-X = (un) substituted 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O; R6 and R7 independently = H, (un) substituted alkyl; or R6 and R7 together with the Nto which they are attached form a (un) substituted 4-6 membered heterocyclic ring] and there pharmaceutically acceptable salts are prepared Thus, II was prepared via substitution of 5-(aminosulfonyl)-2-fluoro-Nmethylbenzamide by 2,3-dihydrobenzo[b]thiophen-5-ol with successive BF3. THF catalyzed amide reduction, formylation of secondary amine, and reduction II demonstrated a serotonin re-uptake inhibition IC50 of 4.7nM. I inhibit monoamine re-uptake and in particular exhibit activity as selective serotonin reuptake inhibitors.

IT 402911-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of serotonin re-uptake inhibitors phenoxybenzylamines)

RN 402911-71-1 HCAPLUS

CN Benzaldehyde, 2-(6-quinazolinyloxy)- (9CI) (CA INDEX NAME)

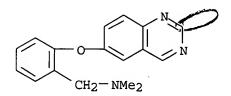
IT402910-46-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(target compound; preparation of serotonin re-uptake inhibitors phenoxybenzylamines)

RN 402910-46-7 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-(6-quinazolinyloxy)-, hydrochloride (9CI) (CA INDEX NAME)



•x HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:282401 HCAPLUS

DOCUMENT NUMBER:

128:321653

TITLE:

Preparation of alkynyl- and azido-substituted 4-anilinoquinazolines for the treatment of

hyperproliferative diseases

INVENTOR(S):

Schnur, Rodney Caughren; Arnold, Lee Daniel

PATENT ASSIGNEE(S):

Pfizer Inc., USA U.S., 23 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5747498 US 1996-653786 Α 19980505 19960528 <--PRIORITY APPLN. INFO.: US 1996-653786 19960528

OTHER SOURCE(S):

CASREACT 128:321653; MARPAT 128:321653

GI

$$\begin{bmatrix} \mathbb{R}^{2} \end{bmatrix}_{\mathbb{N}} \begin{bmatrix} \mathbb{R}^{3} \end{bmatrix}_{\mathbb{N}}$$

AB The title compds. [I; R1 = H, halo, OH, etc.; R2 = H, (un)substituted C1-6 alkyl; R3 = H, halo, OH, etc.; R4 = N3, (un)substituted ethynyl; m = 1-3; n = 1-2] and their salts, useful in the treatment of hyperproliferative diseases such as cancer, were prepared Thus, reaction of 4-chloro-6,7-dimethoxyquinazoline with 4-azidoaniline hydrochloride in iPrOH afforded 98% I [R1 = 6,7-Me2; R2, R3 = H; R4 = 4-N3]. Compds. I showed IC50 of 0.0001-30 μM against EGFR kinase.

IT 207225-62-5P 207225-66-9P 207225-68-1P 207225-69-2P 207225-70-5P 207225-77-2P 207225-78-3P 207225-79-4P 207225-80-7P 207225-81-8P 207225-82-9P 207225-83-0P 207225-85-2P 207225-86-3P 207225-87-4P 207225-89-6P 207225-90-9P 207225-92-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of alkynyl- and azido-substituted 4-anilinoquinazolines for the treatment of hyperproliferative diseases)

RN 207225-62-5 HCAPLUS

CN

4-Quinazolinamine, 7-chloro-6-[4-[(4-chlorophenyl)thio]phenoxy]-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 207225-66-9 HCAPLUS

CN 4-Quinazolinamine, 7-chloro-6-(4-chlorophenoxy)-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-68-1 HCAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-(4-methoxyphenoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-69-2 HCAPLUS

CN 4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-(4-fluorophenoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 207225-70-5 HCAPLUS

CN 4-Quinazolinamine, 6-(4-chlorophenoxy)-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-77-2 HCAPLUS

CN 4-Quinazolinamine, 7-chloro-6-(3-chlorophenoxy)-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

● HCl

RN 207225-79-4 HCAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-phenoxy-,
monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 207225-80-7 HCAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-[4-(methylthio)phenoxy], monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-81-8 HCAPLUS
CN 4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-[4(methylsulfonyl)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

207225-82-9 HCAPLUS RN

4-Quinazolinamine, 7-chloro-N-(3-ethynylphenyl)-6-(4-methylphenoxy)-, monohydrochloride (9CI) (CA INDEX NAME) CN

HCl

207225-83-0 HCAPLUS RN

4-Quinazolinamine, N-(3-ethynylphenyl)-6-(4-phenoxyphenoxy)-, monohydrochloride (9CI) (CA INDEX NAME) CN

● HCl ·

● HCl

RN 207225-86-3 HCAPLUS
CN 4-Quinazolinamine, 6-(3,5-dichlorophenoxy)-N-(3-ethynylphenyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

HCl

RN 207225-89-6 · HCAPLUS
CN 4-Quinazolinamine, 6-(3,4-dichlorophenoxy)-N-(3-ethynylphenyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-90-9 HCAPLUS

CN 4-Quinazolinamine, 6-(4-bromophenoxy)-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 207225-92-1 HCAPLUS

CN 4-Quinazolinamine, 6-(4-chloro-2-methylphenoxy)-N-(3-ethynylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

9

ACCESSION NUMBER: 1997:568090 HCAPLUS

DOCUMENT NUMBER: 127:248122

TITLE: Quinazoline derivatives as antitumor agents

INVENTOR(S): Barker, Andrew John; Johnstone, Craig

PATENT ASSIGNEE(S): Zeneca Limited, UK SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	NT NO.					APPLICATION NO.						DATE			
			-												
WO 97	730034			A1	1997	0821	W	WO 1997-GB344							
V	W: AL	, AM	, АТ,	AU,	AZ, BA,	BB,	BG, I	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK	, EE	ES,	FI,	GB, GE,	HU,	IL,	IS,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,
					LU, LV,										
					SG, SI,										
F					SZ, UG,										
					NL, PT,										
			SN,			- ,	•	-,	,	,	,	,	,	 ,	/
CA 22	242102			AA	1997	0821	CZ	A 19	97-2	2242	102		19	9970:	210 <
					1997										
AU 70	07339			В2	1999										
							EP 1997-902496					19	9970	210 <	
					2005										
F	R: AT	, BE	CH,	DE,	DK, ES,	FR,	GB, G	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	ΙE	, FI							•	·	•	•	•	•	·
CN 12	211240			Α	1999	0317	Cì	N 19	97-	19224	12		19	9970	210 <
JP 20	000504	713		T2	2000	0418	J	P 19	97-9	5290	73		19	9970:	210 <
NZ 33	30816			Α	2000	0526	N2	Z 19	97-3	3308:	16		19	9970	210 <
IL 12	25685			A1	2002	1110	II	և 19	97-	12568	35		19	9970	210 <
AT 29	93103			E	2005	0415				9024				9970	210
PT 88	80507			T	2005	0729				9024				9970	-
	239351					0916				9024				9970	
ZA 97	701231					0814				1231					213 <
															_ - -

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Page 35

US 5866572	A	19990202	US	1997-796483		19970213 <
NO 9803707	Α	19981013	NO	1998-3707		19980813 <
NO 311936	B1	20020218				
US 6399602	B1	20020604	US	1998-152070		19980911 <
CUS 2003018029	A1	20030123	US	2002-136276		20020502 <
US 6897214	B2	20050524				
PRIORITY APPLN. INFO.:			GB	1996-3095	Α	19960214
			WO	1997-GB344	W	19970210
			US	1997-796483	A3	19970213
			US	1998-152070	A1	19980911

OTHER SOURCE(S):

MARPAT 127:248122

GΙ

AB The invention concerns quinazoline derivs. I [X1 = bond, CO, C(R2)2, CH(OR2), S, C.tplbond.C, O, S, etc.; Q1 = Ph, naphthyl, or 5- or 6-membered heteroaryl optionally bearing 1-3 substituents; m = 1 or 2; R1 = H, halo, CF3, OH, NH2, cyano, etc.; R2 = H, alkyl; Q2 = Ph or 9- or 10-membered bicyclic heterocycle optionally bearing 1-3 substituents] and their pharmaceutically acceptable salts. Also disclosed are processes for preparation of I and salts, pharmaceutical compns. containing them, and the use of

their receptor tyrosine kinase inhibitory properties in the treatment of proliferative diseases such as cancer. Examples include syntheses of 40 compds. and various intermediates. For instance, Pd(PPh3)4-catalyzed coupling of 6-bromo-4-(3-chloro-4-fluoroanilino)quinazoline-HCl with di-iso-Pr [5-(2-morpholinoethyl)thien-2-yl]boronate (prepns. given) gave 27% title compound II. At 50 mg/kg/day in athymic nude mice with human vulval epidermoid carcinoma xenografts (cell line A-431), II gave 64% inhibition of tumor volume (vs. control) after 13 days.

IT 195457-37-5P, 4-(3-Chloro-4-fluoroanilino)-6-(4cyanophenoxy) quinazoline 195457-38-6P, 4-(3-Chloro-4fluoroanilino)-6-(4-nitrophenoxy) quinazoline 195457-39-7P,
6-(4-Aminophenoxy)-4-(3-chloro-4-fluoroanilino) quinazoline
195457-41-1P, 6-[4-(Aminomethyl) phenoxy]-4-(3-chloro-4fluoroanilino) quinazoline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of quinazoline derivs. as antitumor agents and antiproliferatives)

RN 195457-37-5 HCAPLUS

RN 195457-38-6 HCAPLUS

CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-(4-nitrophenoxy)- (9CI) (CA INDEX NAME)

RN 195457-39-7 HCAPLUS

CN 4-Quinazolinamine, 6-(4-aminophenoxy)-N-(3-chloro-4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 195457-41-1 HCAPLUS

CN 4-Quinazolinamine, 6-[4-(aminomethyl)phenoxy]-N-(3-chloro-4-fluorophenyl)-(9CI) (CA INDEX NAME)

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RN 195457-42-2 HCAPLUS
CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-[4-(4-morpholinylmethyl)phenoxy] - (9CI) (CA INDEX NAME)

L12 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:467270 HCAPLUS

DOCUMENT NUMBER: 125:168006

TITLE: Preparation of 2,4-diaminoquinazolines as insecticides

INVENTOR(S): Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Lew, Albert C.; Chaguturu, Munirathnam K.;

Ray, Partha S.; Yeager, Walter H.; Silverman, Ian R.;

Buser, John W.; et al.

PATENT ASSIGNEE(S): FMC Corp., USA

SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 149,491,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	API	PLICATION NO.		DATE	
4								
	US 5534518	A	19960709	US	1994-267340		19940628	<
	ZA 9401038	Α	19940825	ZA	1994-1038		19940215	<
	US 5616718	Α	19970401	US	1995-426541		19950420	<
	US 5874579	Α	19990223	US	1996-640610		19960501	<
PRIC	ORITY APPLN. INFO.:			US	1993-19389	B2	19930218	
				US	1993-149491	B2	19931109	
				US	1994-267340	A3	19940628	

OTHER SOURCE(S): MARPAT 125:168006

GI

AB Title compds. [I; R1,R6 = H or alkyl; R2,R7 = H, alkyl, alkanoyl, alkoxycarbonyl, etc.; R1R2 = O-interrupted alkylene; R1R2,R6R7 = dialkylaminomethylene, pyrrolidinomethylene, etc.; R3,R5,R6 = H halo, alkyl, alkoxy, etc.; R4 = H halo, alkyl, alkoxy, substituted aryl(oxy), NHCH2C6H4(CO2H)-4, etc.] were prepared Thus, 2-methyl-6-nitrobenzonitrile was converted in 4 steps to 2-amino-5-ethynyl-6-methylbenzonitrile which was arylated with 4-IC6H4CF3 and the product condensed with C1C(:NH)NH2.HCl to give title compound II which gave 90 and 100% kill of Trichoplusia ni and Spodoptera exigua, resp., at 30ppm foliar spray.

IT 38713-64-3P 38713-65-4P 38713-66-5P 180269-50-5P 180269-51-6P 180269-52-7P 180269-53-8P 180269-54-9P 180269-55-0P 180269-83-4P 180269-84-5P 180269-85-6P 180269-86-7P 180269-87-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2,4-diaminoquinazolines as insecticides)

RN 38713-64-3 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(3,5-dichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 38713-65-4 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(4-chlorophenoxy) - (9CI) (CA INDEX NAME)

RN 38713-66-5 HCAPLUS

CN 2,4-Quinazolinediamine, 6-[3,5-bis(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 N
 N
 NH_2
 NH_2
 NH_2

RN 180269-50-5 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(3-chlorophenoxy) - (9CI) (CA INDEX NAME)

RN 180269-51-6 HCAPLUS

CN 2,4-Quinazolinediamine, 6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 180269-52-7 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(2-chlorophenoxy)- (9CI) (CA INDEX NAME)

RN 180269-53-8 HCAPLUS

CN 2,4-Quinazolinediamine, 6-[2-chloro-6-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 180269-54-9 HCAPLUS

CN 2,4-Quinazolinediamine, 6-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 180269-55-0 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(2,6-dichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 180269-83-4 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(2-chlorophenoxy)-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & N & NH_2 \\
N & NH_2
\end{array}$$
Me NH_2

RN 180269-84-5 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(3-chlorophenoxy)-5-methyl- (9CI) (CA INDEX NAME)

RN 180269-85-6 HCAPLUS

CN 2,4-Quinazolinediamine, 6-(4-chlorophenoxy)-5-methyl- (9CI) (CA INDEX NAME)

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RN 180269-86-7 HCAPLUS

CN 2,4-Quinazolinediamine, 5-methyl-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

$$F_3C$$
 Me
 NH_2
 NH_2

RN 180269-87-8 HCAPLUS

CN 2,4-Quinazolinediamine, 5-methyl-6-[2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

L12 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1989:95260 HCAPLUS

DOCUMENT NUMBER:

110:95260

TITLE:

Preparation of trans-3-[3-(3-hydroxy-2-piperidinyl)-2-

oxopropyl]quinazolin-4(3H)-ones as anticoccidial

agents

INVENTOR(S):

Glazer, Edward A.

PATENT ASSIGNEE(S):

Pfizer Inc., USA U.S., 17 pp.

SOURCE:

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	ATENT NO.	KIND	DATE	AP	PLICATION NO.		DATE	
-						_		
U	S 4762838	Α	19880809	US	1987-67766		19870622	<
W	O 9312795	A1	19930708	WO	1985-US1685		19850830	<
	W: US							
U	S 4849518	A	19890718	US	1988-173207		19880324	<
U	S 4920224	Α	19900424	US	1989-322736		19890313	<
PRIORI	TY APPLN. INFO.:			WO	1985-US1685	W	19850830	
				US	1987-67766	А3	19870622	
				US	1988-173207	A3	19880324	
Omite	COLID OF LOV	G1 G5 5 5						

OTHER SOURCE(S):

CASREACT 110:95260; MARPAT 110:95260

GI

AB The title compds. (I, II; R = C1-4 alkylthio; R1 = CF3, C1-4 alkylthio, cyano, 4-picolylthio, 3,5-Cl2C6H3O, Y1C6H4O, Y2C6H4CH2S; R2 = R = H; X = Br, Cl, F, iodo, in 6- or 7-position; X1 = H, MeO, X, in 7- or 8-position; Y1 = H, Br, Cl, F, PhO, Y2 = Br, Cl; when X1 = H then Y1 = H) and their pharmaceutically acceptable salts were prepared as coccidiostats. 4,5,2-Cl2(O2N)C6H2CO2H was phenoxylated with 4-ClC6H4OH and the product hydrogenated to give 2-amino-4-chloro-5-(4-chlorophenoxy) benzoic acid. The latter was cyclized by heating at 155° in H2CO to give 7-chloro-6-(4-chlorophenoxy-4(3H)-quinazolinone which was N-alkylated with allyl trans-2-(3-bromo-2-oxopropyl)-3-methoxy-1-piperidinecarboxylate to give II (R1 = 4-ClC6H4O, R2 = Me, R3 = CO2CH2CH:CH2, X1 = 7-Cl). This was stirred at room temperature in 33% HBr/HOAc to give II.2HBr (R3 = H, other groups unchanged) which was refluxed in 48% HBr to give II.2HBr (R1 = 4-ClC6H4O, R2 = R3 = H, X1 = 7-Cl). Selected I gave 90-100% control of Eimera tenella in chicks at 25 ppm in feed.

IT 117297-62-8P 117297-63-9P 117297-64-0P
117297-65-1P 117297-66-2P 117297-67-3P
117297-68-4P 117323-95-2P 117323-96-3P
117323-97-4P 117323-98-5P 117348-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and reaction of, in preparation of coccidiostats)
117297-62-8 HCAPLUS

CN 4(1H)-Quinazolinone, 6-phenoxy- (9CI) (CA INDEX NAME)

RN

RN 117297-63-9 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(4-bromophenoxy)- (9CI) (CA INDEX NAME)

RN 117297-64-0 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(4-chlorophenoxy)- (9CI) (CA INDEX NAME)

RN 117297-65-1 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3-chlorophenoxy)- (9CI) (CA INDEX NAME)

RN 117297-66-2 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3,5-dichlorophenoxy)- (9CI) (CA INDEX NAME)

RN 117297-67-3 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(4-phenoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 117297-68-4 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(4-bromophenoxy)-7-chloro- (9CI) (CA INDEX NAME)

RN 117323-95-2 HCAPLUS

CN 4(1H)-Quinazolinone, 7-chloro-6-(4-fluorophenoxy)- (9CI) (CA INDEX NAME)

RN 117323-96-3 HCAPLUS

CN 4(1H)-Quinazolinone, 7-bromo-6-(4-chlorophenoxy)- (9CI) (CA INDEX NAME)

RN 117323-97-4 HCAPLUS

CN 4(1H)-Quinazolinone, 7-chloro-6-(3-chlorophenoxy)- (9CI) (CA INDEX NAME)

$$C1 \longrightarrow N$$

RN 117323-98-5 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3-bromophenoxy)-7-chloro- (9CI) (CA INDEX NAME)

RN 117348-69-3 HCAPLUS

CN 4(1H)-Quinazolinone, 7-chloro-6-(4-chlorophenoxy)- (9CI) (CA INDEX NAME)

$$C1 \longrightarrow N$$

IT 117324-60-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as coccidostat intermediate)

RN117324-60-4 HCAPLUS

CN4(1H)-Quinazolinone, 6-(2-chlorophenoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1983:405644 HCAPLUS

DOCUMENT NUMBER:

99:5644

TITLE:

Herbicidal derivatives of 5-phenoxy-4(3H)-

quinazolinone 1-oxide

INVENTOR (S):

Steffens, James J.

PATENT ASSIGNEE(S):

Rhone-Poulenc Agrochimie, Fr.

SOURCE:

U.S., 3 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4377408 PRIORITY APPLN. INFO.:	A	19830322	US 1981-286747 US 1981-286747	19810727 < 19810727
OTHER SOURCE(S):	CASRE	ACT 99:5644;	MARPAT 99:5644	

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AB The herbicidal (no data) title compds. I [R = trihalomethyl; R1 = halo, R2 = H, (un)substituted C1-5 alkyl] were prepared Thus, 2,5-C1(F3C)C6H3OC6H3(CONH2)NO2-3,4 was reduced and the resulting amine cyclized with HC(OEt)3 to give the quinazolinone II, which was oxidized with H2O, to give I (R = F3C, R1 = C1, R2 = H).

IT 86009-58-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and oxidation of)

RN 86009-58-7 HCAPLUS

CN 4(1H)-Quinazolinone, 6-[2-chloro-4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

IT 86009-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 86009-59-8 HCAPLUS

CN 4(3H)-Quinazolinone, 6-[2-chloro-4-(trifluoromethyl)phenoxy]-, 1-oxide (9CI) (CA INDEX NAME)

L12 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:587290 HCAPLUS

DOCUMENT NUMBER: 95:187290

TITLE: Quinazoline derivatives and pharmaceutical

10824731.trn

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compositions containing them

INVENTOR(S): Ueda, Ikuo; Kato, Masayuki; Nagano, Masanobu PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 120 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ED 20156	7.1	10010610	ED 1000 204225		10001000
	A1		EP 1980-304335		19801202 <
	B1	19840321			. •
R: AT, BE, CH,					
US 4377580	A		US 1980-210340		
	A1	19810611	AU 1980-64733		19801126 <
	B2	19850124			
DK 8005139	A	19810604	DK 1980-5139		19801202 <
CA 1157858	A1	19831129	CA 1980-365968		19801202 <
AT 6778	E	19840415	AT 1980-304335		19801202 <
JP 56095174	A2	19810801	JP 1980-170459		19801203 <
JP 05002679	B4	19930113			
ES 497426	A1	19820601	ES 1980-497426		19801203 <
ES 507995	A1	19821001	ES 1981-507995		19811215 <
ES 507996	A1	19821001	ES 1981-507996		19811215 <
ES 507994	A1	19821116	ES 1981-507994		19811215 <
US 4429126	Α	19840131	US 1982-384998		19820604 <
US 4543356	A	19850924	US 1983-455411		19830103 <
CA 1169062	A2	19840612	CA 1983-432297		19830712 <
JP 05294946	A2	19931109	JP 1991-201541		19910509 <
	B4	19940706			2002000
PRIORITY APPLN. INFO.:			GB 1979-41607	Δ	19791203
			GB 1980-31965	A	
			US 1980-210340		19801125
			CA 1980-365968		19801123
			EP 1980-304335		
OTHER COMPCE(C).	CACDEA	OT 05.107200	- MARDAM OF 107200	A	13001202

OTHER SOURCE(S): CASREACT 95:187290; MARPAT 95:187290

GI

$$R^2$$
 R^3
 R^3
 R^4
 R^2
 R^3
 R^4
 R^3
 R^4

AB The title compds. I, II [R, Rl = esterified carboxy; R2, R3 = H, alkyl, halo, NO2, NH2, alkoxy, aryloxy, etc.; R4 = H, carboxy, esterified carboxy; X = N:CR5 (R5 = H, alkyl, OH, alkoxy, alkenyloxy, dialkylamino, etc.), R6NCO (R6 = alkyl, alkenyl), etc.] were prepared Thus, stirring

4-aminoquinazoline with EtOCH:C(CO2Et)2 in DMF 1 h at 160° gave di-Et [(4-quinazolinylamino)methylene]propanedioate. I and II are antiallergic agents (test data given).

IT 79689-26-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with alkoxymethylenepropanedioate)

RN 79689-26-2 HCAPLUS

CN 4-Quinazolinamine, 6-phenoxy- (9CI) (CA INDEX NAME)

IT 79689-59-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 79689-59-1 HCAPLUS

CN Propanedioic acid, [[(6-phenoxy-4-quinazolinyl)amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

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STRUCTURE FILE UPDATES: 23 JUN 2006 HIGHEST RN 889213-08-5 DICTIONARY FILE UPDATES: 23 JUN 2006 HIGHEST RN 889213-08-5

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-10.50

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http://www.cas.org/ONLINE/UG/regprops.html

=>

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chain nodes : 17 19 20 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 chain bonds : 2-19 9-17 13-17 19-20 ring bonds : 1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 exact/norm bonds : 2-19 9-17 13-17 exact bonds : 19-20 normalized bonds : 1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 isolated ring systems : containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:CLASS 20:CLASS

L13 STRUCTURE UPLOADED

=> d 113

L13 HAS NO ANSWERS

L13 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 113

SAMPLE SEARCH INITIATED 12:11:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 33 TO 447
PROJECTED ANSWERS: 1 TO 80

L14 1 SEA SSS SAM L13

=> s 113 sss full

FULL SEARCH INITIATED 12:11:20 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L15 3 SEA SSS FUL L13

=>

Uploading C:\Program Files\Stnexp\Queries\10824731c.str

10824731.trn

Page 52

chain nodes : 17 19 20 22 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 chain bonds : 2-19 9-17 13-17 19-20 20-22 ring bonds : 1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 exact/norm bonds : 2-19 9-17 13-17 19-20 20-22 normalized bonds : 1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 isolated ring systems : containing 1 : 11 :

G1:Cb,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:CLASS 22:CLASS

L16 STRUCTURE UPLOADED

=> d 116 L16 HAS NO ANSWERS L16 STR

Structure attributes must be viewed using STN Express query preparation.

```
=> s 116
```

SAMPLE SEARCH INITIATED 12:13:40 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 33 TO 447

PROJECTED ANSWERS: O TO 0

L17 0 SEA SSS SAM L16

=> s l16 sss full

FULL SEARCH INITIATED 12:13:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

L18 1 SEA SSS FUL L16

=> d his

(FILE 'HOME' ENTERED AT 11:58:23 ON 25 JUN 2006)

FILE 'REGISTRY' ENTERED AT 11:58:36 ON 25 JUN 2006

L1STRUCTURE UPLOADED

1 S L1 L2

L3 3 S L1 SSS FULL

L4STRUCTURE UPLOADED

L5 11 S L4

170 S L4 SSS FULL L6

FILE 'HCAPLUS' ENTERED AT 12:00:18 ON 25 JUN 2006

L7 2 S L3

35 S L6

10824731.trn

Page 54

06/25/2006 10824731.trn 28 S L8 AND PY<=2003 L10 0 S L9 AND P38 KINASE L111 S L9 AND KINASE INHIBITORS L12 11 S L9 AND US/PC FILE 'REGISTRY' ENTERED AT 12:10:53 ON 25 JUN 2006 L13 STRUCTURE UPLOADED L14 1 S L13 3 S L13 SSS FULL L15 LIG STRUCTURE UPLOADED T.17 0 S L16 1 S L16 SSS FULL L18

=> FIL HCAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 335.20 786.81 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -10.50

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FILE COVERS 1907 - 25 Jun 2006 VOL 145 ISS 1 FILE LAST UPDATED: 23 Jun 2006 (20060623/ED)

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=> s 115 L19 2 L15 => s 118 L20 1 L18

=> d l19 ibib abs hitstr tot

L19 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: . 2004:878161 HCAPLUS

DOCUMENT NUMBER: 141:366245

TITLE: Preparation of substituted quinazolines as p38 kinase

inhibitors

10824731.trn

10824731.trn

INVENTOR(S):

06/25/2006

Dunn, James Patrick; Goldstein, David Michael; Stahl, Christoph Martin, Trejo-Martin, Teresa Alejandra

PATENT ASSIGNEE(S) F. Hoffmann-La Roche AG, USA SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	KIND DATE	APPLICATION NO.	
US 2004209904		US 2004-824731	
AU 2004230209		AU 2004-024731	
CA 2522522			
		CA 2004-2522522	
		WO 2004-EP3779	20040408
WO 2004092144			
		BA, BB, BG, BR, BW,	
		DM, DZ, EC, EE, EG,	
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KP, KR, KZ, LC,
		MD, MG, MK, MN, MW,	
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE,	SG, SK, SL, SY,
		UG, US, UZ, VC, VN,	
		SD, SL, SZ, TZ, UG,	
		AT, BE, BG, CH, CY,	
		IT, LU, MC, NL, PL,	
		CM, GA, GN, GQ, GW,	
TD, TG		211, 221, 221, 22,	,,,,
	A2 20060201	EP 2004-726448	20040408
		GB, GR, IT, LI, LU,	
		CZ, EE, HU, PL, SK	MB, BB, Me, 11,
		BR 2004-9580	20040409
		CN 2004-80010341	
PRIORITY APPLN. INFO.:		US 2003-463467P	
OFFUED GOLVEGE (G)		WO 2004-EP3779	W 20040408
OTHER SOURCE(S):	MARPAT 141:3662	45	

$$\begin{array}{c|c}
 & R^4 \\
 & R^7 \\
 & R^5
\end{array}$$

10824731.trn

GI

ΙT

- AB The title compds. I [R4, R5 = H, halo, CN, haloalkyl, or haloalkoxy (but are not both hydrogen); R6, R7 = alkyl, halo, CN, etc.; Q = a non-aromatic moiety; m = 0-3; n = 0-2] which are useful as p38 kinase inhibitors, were prepared and formulated. E.g., a multi-step synthesis of II, starting from Me 5-chloro-2-nitrobenzoate and 2,4-difluorophenol, which showed IC50 of <0.10 µM against p38 MAP kinase, was given.
 - 778639-20-6P 778639-21-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinazolines as p38 kinase inhibitors)

778639-20-6 HCAPLUS RN

1,2-Propanediol, 3-[[6-(2,4-difluorophenoxy)-2-quinazolinyl]amino]- (9CI) CN (CA INDEX NAME)

RN 778639-21-7 HCAPLUS

CN 2-Quinazolinamine, 6-(2,4-difluorophenoxy)-N-[2-(methylsulfonyl)ethyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

L19 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:582372 HCAPLUS

DOCUMENT NUMBER: 142:155900

TITLE: Synthesis and phenotypic screening of a

guanine_mimetic_library

AUTHOR (S): Miller, Stephen C.; Mitchison, Timothy J.

CORPORATE SOURCE: Department of Cell Biology and Institute of Chemistry

and Cell Biology, Harvard Medical School, Boston, MA,

02115, USA,

SOURCE:

ChemBioChem (2004), 5(7), 1010-1012 CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:155900

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AR Guanine-derived small mols. play important roles in many aspects of cellular function. Proteins that bind guanine and its derivs. control a wide variety of cellular processes, and compds. that disrupt this binding would be valuable research tools as well as potential pharmaceuticals. A split-pool library of 270 6-substituted-2-amino-4(3H)-quinazolinones (I) (R1 = residue of thiol, phenol, or primary alcs.; R2 = aryl or alkyl; e.g. R1 = 3,4-dimethylphenyl, R2 = 3,4,5-trimethoxyphenyl, benzyl; R1 = isobutylthio, R2 = 3-acetylphenyl; R1 = cyclohexylthio, R2 = 4-chlorophenyl) was prepared by aza-Wittig-mediated solid-phase synthesis which involves (1) nucleophilic aromatic substitution of a resin-bound 5-fluoro-2-nitrobenzamide with a variety of thiols, phenols, and primary alcs., (2) generation of a resin-bound iminophosphorane (II) (P = resin) by treatment with Ph3P/Cl3CCCl3/imidazole (3 h, 4°), (3) aza-Wittig reaction of the iminophosphoranes with one of 15 isocyanates (R2-NCO) to yield a carbodiimide (III) (P = resin) followed by intermol. O-attack instead of the desired N attack to the carbodiimide to yield an 4-imino-4H-3,1-benzoxazine (IV), and (4) DBU-mediated isomerization to the desired 2-amino-4(3H)-quinazolinone (V) (P = resin) followed by resin cleavage. The compds. I were cell-permeable guanine-mimetics and screened for (a) the effect on the cytoskeleton and cell cycle progression by incubating the compds. with BS-C-1-(monkey) cells for 6 h, followed by fixing and staining for actin, DNA, and microtubules and (b) disruption of cellular trafficking. For example, I (R1 = isobutylthio, R2 = 3-acetylphenyl) disrupted both the actin and microtubule cytoskeleton, but did not arrest cells in mitosis.

IT 828261-87-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase synthesis and phenotypic screening of guanine-mimetic library via aza-Wittig reaction of iminophosphoranes with isocyanates and DBU-mediated isomerization iminobenzoxazines)

RN 828261-87-6 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3,4-dimethylphenoxy)-2-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 120 ibib abs hitstr tot

L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

9

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ACCESSION NUMBER: 2004:582372 HCAPLUS

DOCUMENT NUMBER: 142:155900

TITLE: Synthesis and phenotypic screening of a

granine-mimetic library Miller, Stephen C.; Mitchison, Timothy J. AUTHOR (S):

Department of Cell Biology and Institute of Chemistry CORPORATE SOURCE:

and Cell Biology, Harvard Medical School, Boston, MA,

ChemBioChem (2004), 5(7), 1010-1012 SOURCE:

CODEN: CBSHEX, 193N: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:155900

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Guanine-derived small mols. play important roles in many aspects of cellular function. Proteins that bind guanine and its derivs. control a wide variety of cellular processes, and compds. that disrupt this binding would be valuable research tools as well as potential pharmaceuticals. A split-pool library of 270 6-substituted-2-amino-4(3H)-quinazolinones (I) (R1 = residue of thiol, phenol, or primary alcs.; R2 = aryl or alkyl; e.g. R1 = 3,4-dimethylphenyl, R2 = 3,4,5-trimethoxyphenyl, benzyl; R1 = isobutylthio, R2 = 3-acetylphenyl; R1 = cyclohexylthio, R2 = 4-chlorophenyl) was prepared by aza-Wittig-mediated solid-phase synthesis which involves (1) nucleophilic aromatic substitution of a resin-bound 5-fluoro-2-nitrobenzamide with a variety of thiols, phenols, and primary alcs., (2) generation of a resin-bound iminophosphorane (II) (P = resin) by treatment with Ph3P/Cl3CCCl3/imidazole (3 h, 4°), (3) aza-Wittig reaction of the iminophosphoranes with one of 15 isocyanates (R2-NCO) to yield a carbodiimide (III) (P = resin) followed by intermol. O-attack instead of the desired N attack to the carbodiimide to yield an 4-imino-4H-3,1-benzoxazine (IV), and (4) DBU-mediated isomerization to the desired 2-amino-4(3H)-quinazolinone (V) (P = resin) followed by resin cleavage. The compds. I were cell-permeable guanine-mimetics and screened for (a) the effect on the cytoskeleton and cell cycle progression by incubating the compds. with BS-C-1-(monkey) cells for 6 h, followed by fixing and staining for actin, DNA, and microtubules and (b) disruption of cellular trafficking. For example, I (R1 = isobutylthio, R2 = 3-acetylphenyl) disrupted both the actin and microtubule cytoskeleton, but did not arrest cells in mitosis.

IT 828261-87-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase synthesis and phenotypic screening of quanine-mimetic library via aza-Wittig reaction of iminophosphoranes with isocyanates and DBU-mediated isomerization iminobenzoxazines)

RN 828261-87-6 HCAPLUS

CN 4(1H)-Quinazolinone, 6-(3,4-dimethylphenoxy)-2-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)

Me
$$\frac{H}{N}$$
 $NH-CH_2-Ph$

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 20.39	SESSION 807.20
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.25	-12.75

STN INTERNATIONAL LOGOFF AT 12:15:27 ON 25 JUN 2006

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